Ser. No. 09/552,969

## **Listing of Claims:**

Claim 1. (original) A compound of the formula:

$$\begin{array}{c|c}
R_2 \\
N-Y-L-Z \\
R_1)_{n} & B_2 \\
B_1
\end{array}$$

in which

R<sub>1</sub> is independently selected from the group consisting of hydrogen; hydroxy; halo; C<sub>1-8</sub>alkyl; C<sub>1-8</sub>alkoxy; substituted C<sub>1-8</sub> alkyl wherein the substituent is halo; substituted C<sub>1-8</sub> alkoxy wherein the substituent is halo; trifluoroalkyl; C<sub>1-8</sub>alkylthio and substituted C<sub>1-8</sub>alkylthio wherein the substituent is selected from halo, trifluoroalkyl and C<sub>1-8</sub>alkoxy; C<sub>3-6</sub>cycloalkyl; C<sub>3-8</sub>cycloalkoxy; nitro; amino; C<sub>1-6</sub>alkylamino; C<sub>1-8</sub>dialkylamino; C<sub>4-8</sub>cycloalkylamino; cyano; carboxy; C<sub>1-5</sub>alkylcarbonyloxy; C<sub>1-5</sub>alkoxycarbonyloxy; formyl; carbamoyl; phenyl; and substituted phenyl wherein the substituent is selected from halo, hydroxyl, nitro, amino and cyano;

n is 0-2;

B<sub>2</sub> is selected from the group consisting of hydrogen; C<sub>1-5</sub>alkyl; substituted C<sub>1-5</sub>alkyl wherein the substituent is halo;

B<sub>2</sub> may have either a cis- or trans- stereochemical orientation with respect to B<sub>1</sub>;

- Y is methylene (-CH<sub>2</sub>-) or carbonyl (C=O)
- L is selected from the group consisting of

Ser. No. 09/552,969

 $C_{1\text{--8}}$ alkylene;  $C_{2\text{--10}}$ alkenylene;  $C_{2\text{--10}}$ alkynylene;  $C_{3\text{--7}}$ cycloalkylene;

C<sub>3-7</sub>cycloalkylC<sub>1-4</sub>alkylene;

arylC<sub>1-4</sub>alkylene;

(N-methylene)piperidin-4-yl;

(N-methylene)piperazin-4-yl;

and

(N-methylene)piperidin-4,4-diyl;

- R<sub>2</sub> is independently selected from the group consisting of hydrogen; C<sub>1.5</sub>alkyl; substituted C<sub>1.5</sub>alkyl wherein the substituent is halo;
- B<sub>1</sub> is hydrogen;

B<sub>1</sub> may have either a cis- or trans- stereochemical orientation with respect to B<sub>2</sub>;

Z is selected from the group consisting of:

phenyl;

N-sulfonamido;

N-(aryl)sulfonamido;

2,3-dihydro-2-oxo-1*H*-benzimidazol-1-yl;

and 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

- R<sub>3</sub> is selected from the group consisting of C<sub>1-8</sub>alkyl; substituted C<sub>1-8</sub>alkyl wherein the substituent is selected from alkoxy and halo; cycloalkyl; substituted cycloalkyl wherein the substituent is selected from C<sub>1-8</sub>alkoxy and halo; naphthyl; substituted naphthyl wherein the substituent is selected from halo, nitro, amino and cyano; heteroaryl wherein the heteroaryl group is selected from pyridyl, pyrimidyl, furyl, thienyl and imidazolyl; and substituted heteroaryl wherein the substituent is selected from halo, nitro, amino and cyano;
- R<sub>4</sub> is independently selected from the group consisting of C<sub>1-8</sub>alkyl; alkoxy; hydroxy; halo; cyano, nitro; amino and alkylamino; substituted C<sub>1-8</sub>alkyl wherein the substituent is halo;

Ser. No. 09/552,969

is 0-2; m

with the following provisions:

when L isC<sub>1-8</sub>alkylene; C<sub>2-10</sub>alkenylene; C<sub>2-10</sub>alkynylene; C<sub>37</sub>cycloalkylene; C<sub>1-7</sub>cycloalkyleneC<sub>1-4</sub>alkylene; arylC<sub>1-4</sub>alkylene; (N-methylene)piperidin-4-yl; then Z is phenyl; N-sulfonamido; N-(aryl)sulfonamido; or 2,3-dihydro-2-oxo-1*H*-benzimidazol-1-yl;

> when L is (N-methylene)piperazin-4-yl; then Z is phenyl or aryl; and

when L is (N-methylene)piperidin-4,4,-diyl; then Z is 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

and enantiomers, diastereomers, and pharmaceutically acceptable salts thereof.

Claim 2. (original) A compound of Claim 1 wherein R1 is hydrogen, alkyl, halo, alkoxy, hydroxy, nitro, amino or trifluoroalkyl;

B2 and B1 are hydrogen;

R2 is hydrogen or alkyl;

Y is methylene or carbonyl;

L is alkylene, alkenylene, alkynylene, (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl or (N-methylene)piperidin-4,4-diyl; Z is phenyl, N-sulfonamido, N(aryl)sulfonamido, 2,3-dihydro-2-oxo-1H-benzimidazo-1yl or 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

R3 is alkyl, substituted alkyl, cycloalkyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R4 is alkyl, alkoxy, hydroxy, halo, cyano, nitro, amino, alkylamino or substituted alkyl;

n is 0-2;

m is 0-2;

provided that when:

L is C<sub>1-8</sub>alkylene, C<sub>2-10</sub>alkenylene; C<sub>2-10</sub>alkynylene, C<sub>3-7</sub>cycloalkylene, C<sub>3-7</sub>cycloalkylene, C<sub>3-7</sub>cycloalkylene, C<sub>1-4</sub>alkylene, arylC<sub>1-4</sub>alkylene or (N-methylene)piperidin-4-yl, then Z is phenyl, N-sulfonamido, N-(aryl)sulfonamido or 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl;

when L is (N-methylene)piperazin-4-yl, then Z is phenyl; and

when L is (N-methylene)piperidin-4,4-diyl, then Z is 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Ser. No. 09/552,969

Claim 3. (original) A compound of claim 1 selected form the group consisting of:

and

Claim 4. (original) A compound of claim 1 selected from the group consisting of:

Claim 5. (original) A compound of claim 1 selected from the group consisting of:

Claim 6. (original) A compound of claim 1 selected from the group consisting of:

Claim 7. (original) A compound of claim 1 selected from the group consisting of:

Claim 8. (original) A compound of claim 1 selected from the group consisting of:

Ser. No. 09/552,969

Claim 9. (original) A compound of claim 1 selected from the group consisting of:

Claim 10. (original) A compound of claim 1 selected from the group consisting of:

Claim 11. (original) A compound of claim 1 selected from the group consisting of:

Claim 12. (original) A compound of claim 1 selected from the group consisting of:

Claim 13. (original) A compound of claim 1 selected from the group consisting of:

Claim 14. (original) A compound of claim 1 selected from the group consisting of:

Ser. No. 09/552,969

## Claim 15. (withdrawn) A compound of the formula:

$$(R_1)n = \begin{bmatrix} NH & 0 \\ N & -1 \\ N & 0 \end{bmatrix}$$

Wherein

 $R_{1} \quad \text{is independently selected from the group consisting of hydrogen; hydroxy; halo;} \\ C_{1-8}\text{alkyl}; \quad C_{1-8}\text{alkoxy}; \quad \text{substituted} \quad C_{1-8} \quad \text{alkoxy; trifluoroalkyl;} \quad C_{1-8}\text{alkylthio;} \quad C_{3-8}\text{cycloalkyloxy; nitro; amino;} \quad C_{1-6}\text{alkylamino;} \quad C_{1-8}\text{dialkylamino;} \\ C_{4-8}\text{cycloalkylamino;} \quad \text{cyano;} \quad \text{carboxy;} \quad C_{1-5}\text{alkylcarbonyloxy;} \quad C_{1-5}\text{alkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;} \\$ 

n is 0 to 2

- R<sub>3</sub> is independently selected from the group consisting of C<sub>1-8</sub>alkyl; substituted C<sub>1-8</sub>alkyl; cycloalkyl; substituted cycloalkyl; naphthyl; substituted naphthyl; heteroaryl wherein the heteroaryl group is selected from pyridyl, pyrimidyl, furyl, thienyl and imidazolyl; and substituted heteroaryl;
- L is selected from the group consisting of  $C_{1-8}$ alkylene;  $C_{2-10}$ alkenylene;  $C_{2-10}$ alkynylene;  $C_{3-7}$ cycloalkyl $C_{1-4}$ alkylene; aryl $C_{1-4}$ alkylene; (N- methylene)piperidin-4-yl, (N- methylene)piperidin-4,4-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Ser. No. 09/552,969

## Claim 16. (withdrawn) A compound of the formula:

wherein 
$$(R_1)n\frac{1!}{!!}$$
  $(R_1)n\frac{1!}{!!}$ 

R<sub>1</sub> is independently selected from the group consisting of hydrogen; hydroxy; halo; C<sub>1-8</sub>alkyl; C<sub>1-8</sub>alkoxy; substituted C<sub>1-8</sub> alkoxy; trifluoroalkyl; C<sub>1-8</sub>alkylthio; C<sub>3-6</sub>cycloalkyl; C<sub>3-8</sub>cycloalkyloxy; nitro; amino; C<sub>1-6</sub>alkylamino; C<sub>1-8</sub>dialkylamino; C<sub>4-8</sub>cycloalkylamino; cyano; carboxy; C<sub>1-5</sub>alkylcarbonyloxy; C<sub>1-5</sub>alkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;

n is 0 to 2

R<sub>4</sub> is independently selected from the group consisting of C<sub>1-8</sub>alkyl; alkoxy; hydroxy; halogen; cyano, nitro; amino and alkylamino; substituted C<sub>1-8</sub>alkyl wherein the substituent is halo;

L is selected from the group consisting of  $C_{1.8}$ alkylene;  $C_{2.10}$ alkenylene;  $C_{2.10}$ alkynylene;  $C_{3.7}$ cycloalkyl $C_{1.4}$ alkylene; aryl $C_{1.4}$ alkylene; (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and (N-methylene)piperidin-4,4-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

## Claim 17. (withdrawn) A compound of the formula:

$$(R_1)n \xrightarrow{\text{II}} \text{NH} \qquad 0 \\ N-CH_2-L-N-S-R_3 \\ O \\ \text{HX}$$

Wherein

Ser. No. 09/552,969

R<sub>1</sub> is independently selected from the group consisting of hydrogen; hydroxy; halo; C<sub>1-8</sub>alkyl; C<sub>1-8</sub>alkoxy; substituted C<sub>1-8</sub> alkoxy; trifluoroalkyl; C<sub>1-8</sub>alkylthio; C<sub>3-6</sub>cycloalkyl; C<sub>3-8</sub>cycloalkyloxy; nitro; amino; C<sub>1-6</sub>alkylamino; C<sub>1-8</sub>dialkylamino; C<sub>4-8</sub>cycloalkylamino; cyano; carboxy; C<sub>1-5</sub>alkylcarbonyloxy; C<sub>1-5</sub>alkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;

n is 0 to 2

HX is hydrochloric acid or trifluoroacetic acid

- R<sub>3</sub> is independently selected from the group consisting of C<sub>1-8</sub>alkyl; substituted C<sub>1</sub>.

  8alkyl; cycloalkyl; substituted cycloalkyl; naphthyl; substituted naphthyl; heteroaryl wherein the heteroaryl group is selected from pyridyl, pyrimidyl, furyl, thienyl and imidazolyl; and substituted heteroaryl;
- L is selected from the group consisting of

  C<sub>1-8</sub>alkylene; C<sub>2-10</sub>alkenylene; C<sub>2-10</sub>alkynylene; C<sub>3-7</sub>cycloalkylene;

  C<sub>3-7</sub>cycloalkylC<sub>1-4</sub>alkylene; arylC<sub>1-4</sub>alkylene;

  (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and

  (N-methylene)piperidin-4,4-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Claim 18. (withdrawn) A compound of the formula:

$$(R_1)n \xrightarrow{[1]{l}} NHX \xrightarrow{O} R_4$$

wherein

R<sub>1</sub> is independently selected from the group consisting of hydrogen; hydroxy; halo; C<sub>1-8</sub>alkyl; C<sub>1-8</sub>alkoxy; substituted C<sub>1-8</sub> alkoxy; trifluoroalkyl; C<sub>1-8</sub>alkylthio; C<sub>3-6</sub>cycloalkyl; C<sub>3-8</sub>cycloalkyloxy; nitro; amino; C<sub>1-6</sub>alkylamino; C<sub>1-6</sub>alkylamino; C<sub>1-5</sub>alkylcarbonyloxy; C<sub>1-5</sub>alk

5alkoxycarbonyloxy; formyl; carbamoyl; phenyl and substituted phenyl;

- HX is hydrochloric acid or trifluoroacetic acid
- n is 0 to 2
- R<sub>4</sub> is independently selected from the group consisting of C<sub>1-8</sub>alkyl; alkoxy; hydroxy; halogen; cyano, nitro; amino and alkylamino; substituted C<sub>1-8</sub>alkyl wherein the substituent is halo;
- L is selected from the group consisting of  $C_{1-8}$ alkylene;  $C_{2-10}$ alkenylene;  $C_{2-10}$ alkynylene;  $C_{3-7}$ cycloalkyl $C_{1-4}$ alkylene; aryl $C_{1-4}$ alkylene; (N-methylene)piperidin-4-yl, (N-methylene)piperidin-4-yl and (N-methylene)piperidin-4,4-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Claim 19. (currently amended) A compound of Claim 15 1 wherein:

R<sub>1</sub> is hydrogen, alkyl, halo, alkoxy, hydroxy, nitro, amino or trifluoroalkyl;

B2 and B1 are hydrogen;

- R2 is hydrogen or alkyl;
- Y is methylene or carbonyl;
- L is selected from the group consisting of

  C<sub>1-8</sub>alkylene; C<sub>2-10</sub>alkenylene; C<sub>2-10</sub>alkynylene; C<sub>3-7</sub>cycloalkylene;

  C<sub>3-7</sub>cycloalkylC<sub>1-4</sub>alkylene; arylC<sub>1-4</sub>alkylene;

  (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl and

  (N-methylene)piperidin-4,4-diyl;
- Z is phenyl, N-sulfonamido, N(aryl)sulfonamido, 2,3-dihydro-2-oxo-1H-benzimidazo-1-yl or 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

Ser. No. 09/552,969

R3 is alkyl, substituted alkyl, cycloalkyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R<sub>4</sub> is independently selected from the group consisting of C<sub>1-8</sub>alkyl; alkoxy; hydroxy; halogen; cyano, nitro; amino; alkylamino; and substituted C<sub>1-8</sub>alkyl wherein the substituent is halo;

n is 0-2;

m is 0-2;

provided that when:

L is C<sub>1-8</sub>alkylene, C<sub>2-10</sub>alkenylene; C<sub>2-10</sub>alkynylene, C<sub>3-7</sub>cycloalkylene, C<sub>3-7</sub>cycloalkylene, C<sub>1-4</sub>alkylene, arylC<sub>1-4</sub>alkylene or (N-methylene)piperidin-4-yl, then Z is phenyl, N-sulfonamido, N-(aryl)sulfonamido or 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl;

when L is (N-methylene)piperazin-4-yl, then Z is phenyl; and when

L is (N-methylene)piperidin-4,4-diyl, then Z is 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl;

and the enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

Claim 20. (previously amended) A method of treating disorders and diseases associated with NPY receptor subtype Y5 comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of claim 1 selected from the group consisting of eating disorders, obesity, anorexia nervosa, bulimia nervosa, diabetes, dyspilipidimia, hypertension, memory loss, epileptic seizures, migraine, sleep disorders, pain, sexual/reproductive disorders, depression and anxiety.

Claim 21. (canceled)

Claim 22. (previously amended) A pharmaceutical composition according to Claim 20 for the treatment of disorders or disease states caused by eating disorders, obesity, anorexia nervosa, bulimia nervosa, diabetes, dyspilipidimia, hypertension, memory loss, epileptic seizures, migraine, sleep disorders, pain, sexual/reproductive disorders, depression or anxiety.